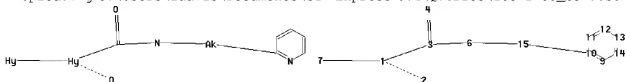


***** Welcome to STN International *****
 ***** STN Columbus *****

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=> FIL REGISTRY

=>Uploading C:\Users\zdavis\Documents\STN Express 8.4\Queries\10572706_bond.str



chain nodes :

1 2 3 4 6 7 15

ring nodes :

9 10 11 12 13 14

chain bonds :

1-3 1-2 1-7 3-4 3-6 6-15 10-15

ring bonds :

9-10 9-14 10-11 11-12 12-13 13-14

exact/norm bonds :

1-3 1-2 1-7 3-4 3-6 6-15 10-15

normalized bonds :

9-10 9-14 10-11 11-12 12-13 13-14

isolated ring systems :

containing 9 :

Match level :

1:Atom 2:CLASS 3:CLASS 4:CLASS 6:CLASS 7:Atom 9:Atom 10:Atom 11:Atom

12:Atom 13:Atom 14:Atom 15:CLASS

Generic attributes :

7:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Element Count :

Node 1: Limited

N,Exact,1

Node 7: Limited

N,Exact,2

=> s 14 sam

L5 0 SEA SSS SAM L4

=> s 14 full

L6 12 SEA SSS FUL L4

=> file caplus

=> s 16

L7 5 L6

=> s 17 and pd<sept 2004
 24999311 PD<SEPT 2004
 (PD<20040900)

L8 1 L7 AND PD<SEPT 2004

=> dis 18 bib abs hitstr

L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2011 ACS on STN

AN 2003:58080 CAPLUS Full-text

DN 138:106603

TI Preparation of 4-substituted-picolinic acid amide derivatives useful as
 agrochemical fungicides

IN Hutin, Pierre; Muller, Benoit; Steele, Christopher Richard; Perez, Joseph;
 Genix, Pierre

PA Aventis CropScience SA, Fr.

SO PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DT Patent

LA English

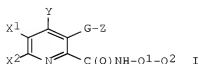
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003006456	A1	20030123	WO 2002-EP8665	20020705 <--
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2827286	A1	20030117	FR 2001-9195	20010711 <--
AU 2002317880	A1	20030129	AU 2002-317880	20020705 <--
EP 1404666	A1	20040407	EP 2002-747474	20020705 <--
EP 1404666	B1	20090527		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2004534098	T	20041111	JP 2003-512228	20020705
JP 4387188	B2	20091216		
AT 432271	T	20090615	AT 2002-747474	20020705
ES 2325829	T3	20090921	ES 2002-747474	20020705
US 20040142977	A1	20040722	US 2004-483513	20040322 <--
US 6953807	B2	20051011		
PRAI FR 2001-9195	A	20010711		
WO 2002-EP8665	W	20020705		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 138:106603

GI



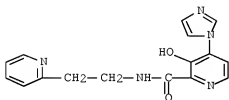
- AB** 4-Substituted-picolinic acid amide derivs. (shown as I; variables defined below; e.g. 2-[[[(3-(trifluoromethyl)phenyl)amino]carbonyl]-3-hydroxy-4-(imidazol-1-yl)pyridine], process for their preparing, fungicidal compns. comprising them, and a method for treating plants are claimed. For I: Y = -(CR5R6)kCHO, -(CR5R6)k-Het, -(CR5R6)kCH:NQ3 (k = 0-2; Het = 5-6- membered saturated or partially unsatd. or aromatic ring containing 1-3 heteroatoms = N, O, and S which can be identical or different and which can be substituted by one or two -R5; Q3 = -R1 or -OR). G = -(CH2)m-, -O-, -S- and -NR1; Z = -R1, Cl-C4 alkylene, Cl-C4 alkyl, -Si(R1)3, -(CH2)p-OMe, -(CH2)p-SMe, -CH2O2CR1, -C(O)OnR1, (CH2)pC(O)C6H4R1, -C(O)NR1R3, -CH2On(CH2)pC6H4R2, S(O)2C6H4OR2 and (CH2)pC6H4OR1. X1 and X2 = H, halogen, -CF3, cyano and nitro; Q1 = -(CH2)q-, -(CH2)qC6H4R4r, pyrazolyl, R4r-substituted cyclohexyl, -(CH2)q(R4r-substituted pyridin-3-yl); Q2 = -(O)n-R5, cyano, -On(CH2)jC6H4R5t, -(CH2)jC6H4OnR5, -On(CH2)j(R5t-substituted pyridin-2-yl, pyrazol-1-yl, thien-2-yl), -2-R7-2-R8benzodioxol-5-yl and 2-R5-3-R6-2,3-dihydrobenzodioxin-6-yl. R1 = H or Cl-C4 alkyl; R2 = H, halogen, Cl-C4 alkyl, Cl-C4 alkoxy, Cl-C4 haloalkyl and Cl-C4 haloalkoxy; R3 = H, Cl-C4 alkyl and Cl-C4 alkoxyalkyl; R4 halo, Cl-C4 alkyl and Cl-C4 alkoxyalkyl; R5 and R6 = H, halo, Cl-C4 alkyl, Cl-C4 haloalkyl; R7 and R8 = H and halo; n is 0 or 1; j, m, p, q and t = 0-4; r is 0-3. In vivo test on Alternaria brassicae (Leaf spot of crucifers) gave good (at least 50%) or total protection at a dose of 500 g/ha with 45 of I. In vivo test on Septoria nodorum (wheat Glume blotch) gave good (at least 50%) or total protection at a dose of 500 g/ha with 38 of I. In vivo test on Erysiphe graminis f. sp. tritici (powdery mildew of wheat) gave good (at least 50%) or total protection at a dose of 500 g/ha with 51 of I. In vivo test on Septoria tritici (Leaf spot of wheat) gave good (at least 50%) protection at a dose of 500 g/ha with 33 of I. In vivo test on Puccinia recondita (Wheat brown rust) gave good (at least 50%) protection at a dose of 500 g/ha with 21 of I. In vivo test on Botrytis cinerea (cucumber Gray mold) gave good (at least 50%) protection at a dose of 500 g/ha with 8 of I. Six example preps. of I are included as well as several example preps. of intermediates. For example, 2-[[[(3-(trifluoromethyl)phenyl)amino]carbonyl]-3-hydroxy-4-(imidazol-1-yl)pyridine was prepared via intermediates 4-(imidazol-1-yl)-3-methoxy-2-cyanopyridine (from imidazole and 4-nitro-3-methoxy-2-cyanopyridine) and 4-(imidazol-1-yl)-3-methoxynicotinic acid.
- IT** 488729-19-3P, N-(2-(Pyridin-2-yl)ethyl)-3-hydroxy-4-(imidazol-1-yl)pyridine-2-carboxamide 488729-48-3P, N-(2-(Pyridin-2-yl)ethyl)-3-hydroxy-4-(3-(trifluoromethyl)pyrazol-1-yl)pyridine-2-carboxamide
- RL: AGR (Agricultural use); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation); USES (Uses)

(preparation of 4-substituted-picolinic acid amide derivs. useful as agrochem. fungicides)

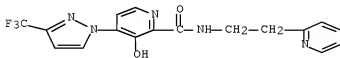
RN 488729-19-7 CAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-(1H-imidazol-1-yl)-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)



RN 488729-48-2 CAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-N-[2-(2-pyridinyl)ethyl]-4-[3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s l7 not l8

L9 4 L7 NOT L8

=> dis l9 1-4 bib abs fhitstr

L9 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN

AN 2010:1071113 CAPLUS Full-text

DN 153:334035

TI Preparation of pyrazole derivatives for treatment of COPD

IN Alcaraz, Marie-Lyne; Briggner, Lars-Erik; Klingstedt, Per Tomas; Loenn, Hans Roland; Nicklasson, Helena; Nixon, Robert Anthony; Watts, Andrew James; Zuban, Robert

PA Astrazeneca AB, Swed.; Astrazeneca Uk Limited

SO PCT Int. Appl., 84pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2010094964	A1	20100826	WO 2010-GB50271	20100218
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	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 20100216843	A1	20100826	US 2010-706313	20100216
	AR 75523	A1	20110406	AR 2010-100488	20100218
PRAI	US 2009-154099P	P	20090220		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 153:334035

AB The title compds., i.e., 6-methyl-5-(1-methyl-1H-pyrazol-5-yl)-N-([5-(methanesulfonyl)pyridin-2-yl]methyl)-2-oxo-1-[3-(trifluoromethyl)phenyl]-1,2-dihydropyridine-3-carboxamide 4-methylbenzenesulfonate and other pharmaceutically acceptable salts thereof were prepared for the treatment of inflammatory diseases, such as COPD. For example, 6-methyl-5-(1-methyl-1H-pyrazol-5-yl)-2-oxo-1-[3-(trifluoromethyl)phenyl]-1,2-dihydropyridine-3-carboxylic acid (preparation given) was treated with 1,1'-carbonyldiimidazole in acetonitrile at 50 °C and then reacted with [5-(methanesulfonyl)pyridin-2-yl]methylamine monohydrochloride (preparation given) at 50 °C to give the title compound as a free base, which was further reacted with 4-toluenesulfonic acid monohydrate for the tosylate salt. The title compound, as free base dissolved in DMSO, gave an IC50 value for inhibition of human neutrophil elastase activity of 12 nM in human neutrophil elastase Quenched-FRET assay. Formulations containing the title compds. as active ingredients were also disclosed.

IT 1240425-05-1P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrazole derivs. for treatment of COPD)

RN 1240425-05-1 CAPLUS

CN 3-Pyridinecarboxamide,

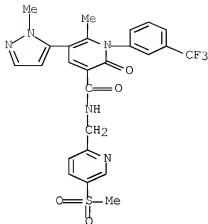
1,2-dihydro-6-methyl-5-(1-methyl-1H-pyrazol-5-yl)-N-

[[5-(methanesulfonyl)-2-pyridinyl]methyl]-2-oxo-1-[3-(trifluoromethyl)phenyl]-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

RN 848141-11-7

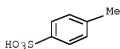
CMF C25 H22 F3 N5 O4 S



CM 2

CRN 104-15-4

CMF C' H8 O3 S



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2011 ACS on SIN

AN 2005:349002 CAPLUS [Full-text](#)

DN 142:373851

TI Preparation of substituted quinobenzoxazine analogs as antitumor agents
IN Whitten, Jeffrey P.; Schwaebe, Michael; Siddiqui-Jain, Adam; Moran,
Terence

PA USA

SO U.S. Pat. Appl. Publ., 453 pp., Cont.-in-part of U.S. Ser. No. 821,243.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20050085468	A1	20050421	US 2004-903975	20040730
	US 7354916	B2	20080408		
	US 7141565	B1	20061128	US 2004-821243	20040407
	US 20060029950	A1	20060209	US 2005-106909	20050415
	US 7507727	B2	20090324		

AU 2005325210	A1	20060727	AU 2005-325210	20050729
CA 2575547	A1	20060727	CA 2005-2575547	20050729
WO 2006078317	A1	20060727	WO 2005-US26977	20050729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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EP 1773346	A1	20070418	EP 2005-856890	20050729
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JP 2008508311	T	20080321	JP 2007-523846	20050729
ZA 2005008093	A	20080430	ZA 2005-8093	20051006
US 20060229303	A1	20061012	US 2006-390810	20060328
US 7326702	B2	20080205		
US 20070043039	A1	20070222	US 2006-431602	20060510
US 7381720	B2	20080603		
US 20080261963	A1	20081023	US 2008-13961	20080114
US 7612063	B2	20091103		
PRAI US 2003-461271P	P	20030407		
US 2003-463171P	P	20030415		
US 2003-519535P	P	20031112		
US 2003-532727P	P	20031223		
US 2004-821243	A2	20040407		
US 2004-903975	A2	20040730		
US 2005-106909	A	20050415		
WO 2005-US26977	W	20050729		
US 2006-390810	A3	20060328		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 142:373851
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to quinobenzoxazines analogs I [V = H, halo, NR1R2; A = H, F, N(R1)2; Z = O, S, NR1, CH2; U = OR2, NR1R2; X = OR2, NR1R2, halo, azido, SR2; R1 and R2 in NR1R2 may form a double bond or ring; R1 = H, alkyl; R2 = H, alkyl or alkenyl optionally containing one or more non-adjacent heteroatoms selected from N, O, and S, and optionally substituted with a carbocyclic or heterocyclic ring; or R2 = (un)substituted heterocyclyl, (hetero)aryl; W = (un)substituted 1,2-benzo, pyrido, naphthaleno, etc.; and pharmaceutically acceptable salts, esters and prodrugs thereof] which are useful for ameliorating a cell disorder such as cancer. Forty-six synthetic examples showed the synthesis of

intermediates. E.g., a 4-step synthesis of the fluoroacid II, starting from potassium Et malonate and 2,3,4,5-tetrafluorobenzoyl chloride, was given. Such prepared fluoroacids were reacted with amines to provide compds. I which were then tested in MTS assay and for inhibition of c-myc mRNA. E.g., the compound III showed 50% inhibition of c-myc mRNA levels at 4 μ M. The compds. I were tested for antitumor activity in mice (biol. data given for representative compds. I). The compds. I were also claimed as useful for ameliorating a microbial infection.

IT 1056129-88-4

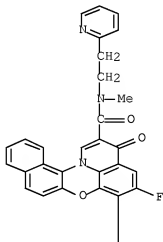
RL: PRPH (Prophetic)

(Preparation of substituted quinobenzoxazine analogs as antitumor agents)

RN 1056129-88-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

PAGE 1-A



PAGE 2-A



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
 RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN
 AN 2005:260028 CAPLUS Full-text

DN 142:316705
 TI Preparation of 2-pyridone derivatives as neutrophil elastase inhibitors
 and their use for treating inflammation
 IN Andersson, Marjana; Hansen, Peter; Loenn, Hans; Nikitidis, Antonios;
 Sjoelin, Petter
 PA Astrazeneca AB, Swed.
 SO PCT Int. Appl., 101 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005026123	A1	20050324	WO 2004-SE1335	20040915
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	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004272484	A1	20050324	AU 2004-272484	20040915
	AU 2004272484	B2	20080313		
	CA 2538405	A1	20050324	CA 2004-2538405	20040915
	EP 1663973	A1	20060607	EP 2004-775438	20040915
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	BR 2004014548	A	20061107	BR 2004-14548	20040915
	CN 1882542	A	20061220	CN 2004-80033847	20040915
	JP 2007505901	T	20070315	JP 2006-526855	20040915
	JP 4515455	B2	20100728		
	RU 2353616	C2	20090427	RU 2006-112428	20040915
	NZ 545963	A	20090925	NZ 2004-545963	20040915
	MX 2006002724	A	20060606	MX 2006-2724	20060309
	KR 2006087569	A	20060802	KR 2006-7005456	20060317
	ZA 2006002261	A	20070725	ZA 2006-2261	20060317
	NO 2006001660	A	20060411	NO 2006-1660	20060411
	IN 2006DN02107	A	20070713	IN 2006-DN2107	20060418
	IN 234227	A1	20090605		
	US 20070203129	A1	20070830	US 2007-572706	20070108
	IN 2009DN02359	A	20090522	IN 2009-DN2359	20090409
	IN 2009DN02360	A	20090522	IN 2009-DN2360	20090409
PRAI	SE 2003-2486	A	20030918		
	WO 2004-SE1335	W	20040915		
	IN 2006-DN2107	A3	20060418		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 142:316705; MARPAT 142:316705

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein Y = CH, CF, N; R1 = H, alkyl; R2 = (un)substituted Ph, 5- or 6-membered heteroaryl containing 1 to 4 heteroatoms; G1 = Ph, 5- or 6-membered heteroaryl containing 1 to 3 heteroatoms; each R5 = independently H, halo, CN, alkoxy, NO2, etc.; n = 1-3; R4 = H, (un)substituted alkyl; L = a bond, O, SO, SO2, S, NH, etc.; G2 = (un)substituted monocyclyl, bicyclyl; and their optical isomers, racemates, tautomers, and pharmaceutically acceptable salts] were prepared as human neutrophil elastase (HNE) inhibitors for treating inflammation. Thus, acylation of 4-methylsulfonylbenzylamine•HCl with 6-methyl-2-oxo-1-[3-(trifluoromethyl)phenyl]-1,2-dihydropyridine-3-carboxylic acid (preparation given), iodination, and Pd-cross coupling of the iodide with phenylboronic acid gave pyridone II. Selected I gave IC50 values for inhibition of HNE activity of less than 30 μ M.

IT 848141-11-7P, 6-Methyl-5-(1-methyl-1H-pyrazol-5-yl)-N-[[5-

(methylsulfonyl)pyridin-2-yl)methyl]-2-oxo-1-[3-(trifluoromethyl)phenyl]-1,2-dihydropyridine-3-carboxamide

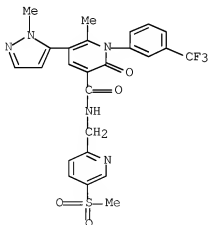
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 2-pyridones as human neutrophil elastase inhibitors and their use for treating inflammation)

RN 848141-11-7 CAPLUS

CN 3-Pyridinecarboxamide,

1,2-dihydro-6-methyl-5-(1-methyl-1H-pyrazol-5-yl)-N-[[5-(methylsulfonyl)-2-pyridinyl)methyl]-2-oxo-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



OSC.G 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2011 ACS on STN
 AN 2004:902098 CAPLUS Full-text
 DN 141:395565
 TI Preparation of substituted quinobenzoxazine analogs as antitumor agents
 IN Whitten, Jeffrey P.; Schwaabe, Michael; Siddiqui-Jain, Adam; Moran, Terrance
 PA Cyclene Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 438 pp.
 CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004091504	A2	20041028	WO 2004-US11108	20040407
	WO 2004091504	A3	20060105		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	CA 2521810	A1	20041028	CA 2004-2521810	20040407
	EP 1610759	A2	20060104	EP 2004-759406	20040407
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US 2006-390810	A3	20060328

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 141:395565

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to quinobenzoxazines analogs I [V = H, halo, NR1R2; A = H, F, N(R1)2; Z = O, S, NR1, CH2; U = OR2, NR1R2; X = OR2, NR1R2, halo, azido, SR2; R1 and R2 in NR1R2 may form a double bond or ring; R1 = H, alkyl; R2 = H, alkyl or alkenyl optionally containing one or more non-adjacent heteroatoms selected from N, O, and S, and optionally substituted with a carbocyclic or heterocyclic ring; or R2 = (un)substituted heterocyclyl, (hetero)aryl; W = (un)substituted 1,2-benzo, pyrido, naphthaleno, etc.; and pharmaceutically acceptable salts, esters and prodrugs thereof] which are useful for ameliorating a cell disorder such as cancer. Forty-six synthetic examples showed the synthesis of intermediates. E.g., a 4-step synthesis of the fluoroacid II, starting from potassium Et malonate and 2,3,4,5-tetrafluorobenzoyl chloride, was given. Such prepared fluoroacids were reacted with amines to provide compds. I which were then tested in MTS assay and for inhibition of c-myc mRNA. E.g., the compound III showed 50% inhibition of c-myc mRNA levels at 4 μ M. The compds. I were tested for antitumor activity in mice (biol. data given for representative compds. I). The compds. I were also claimed as useful for ameliorating a microbial infection.

IT 1056129-88-4

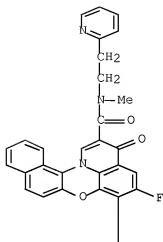
RL: PRPH (Prophetic)

(Preparation of substituted quinobenzoxazine analogs as antitumor agents)

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CN INDEX NAME NOT YET ASSIGNED

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OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
 RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
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